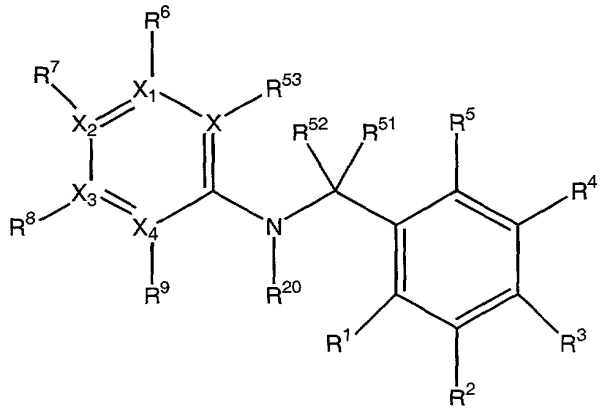
CLAIMS:

1. A compound of Formula I:



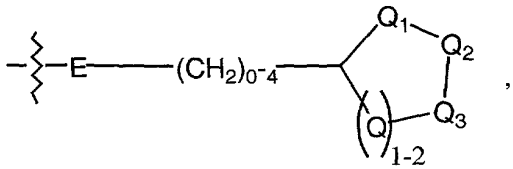
Formula I

its prodrug form or pharmaceutically acceptable salts thereof, wherein:

R^1 represents OH, COOH, COO-C_{1-4} alkyl, CH_2OR^{10}, SO_2-OH, O-SO_2-OH, O-SO_2-OC_{1-4} alkyl, OP(O)$(OH)_2$, or OPO_3C_{1-4} alkyl;

R^2, R^3, R^4, and R^5 independently at each occurrence represent H, SH, OR^{10}, halogen, $COOR^{10}$, $CONR^{11}R^{12}$, optionally substituted aryl, optionally substituted heterocyclyl, C_{4-14} cycloalkyl-C_{1-4} alkyl, C_{1-4} alkyl aryl, optionally substituted C_{1-14} straight chain, branched or cyclo alkyl, $NR^{10}R^{24}$, $(CH_2)_{1-4}$-$NR^{33}R^{34}$, $(CH_2)_{1-4}$-$COOR^{33}$, O-$(CH_2)_{1-3}$-CO-het, O-$(CH_2)_{1-2}$-NH-CO-aryl, O-$(CH_2)_{0-2}$-NR^{10}-CO-$NR^{10}R^{33}$, O-$(CH_2)_{0-2}$-C(O)-$NR^{33}R^{34}$, O-$(CH_2)_{1-4}$-$COOR^{10}$, O-$(CH_2)_{1-3}$-het-R^{32}, O-optionally substituted cycloalkyl, O-$(CH_2)_{1-4}$-NR^{10}-COO-*t*-butyl, O-$(CH_2)_{1-4}$-$NR^{10}R^{33}$, O-$(CH_2)_{1-4}$-NR^{10}-C(O)-C_{0-3}-alkyl-optionally substituted aryl, O-$(CH_2)_{0-6}$-optionally substituted aryl,

$(CH_2)_{1-4}$-NH-C(O)O-$(CH_2)_{1-4}$-Ph$R^{13}R^{14}$, NO_2, O-$(CH_2)_{0-4}$-C(O)-NH-tetrahydro carboline, SO_3H, $CH(OH)COOR^{10}$, $NR^{10}R^{28}$, O-$(CH_2)_{1-3}$-optionally substituted het, CH_2COOCH_3, $CH{=}CH\text{-}COOCH_3$,



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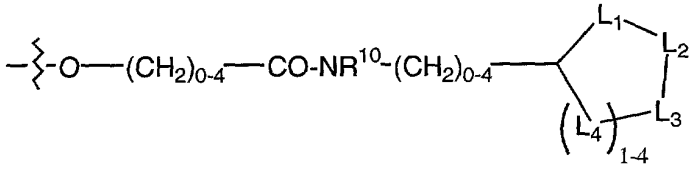
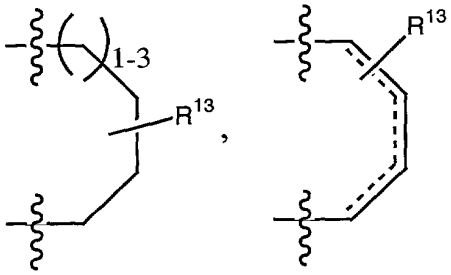


;

alternatively R^2 and R^3, R^3 and R^4, or R^4 and R^5 taken together form



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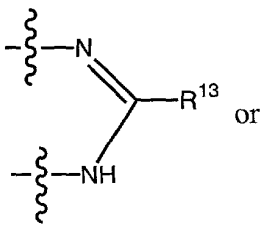

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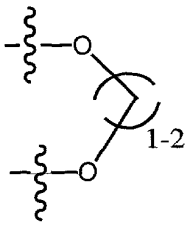
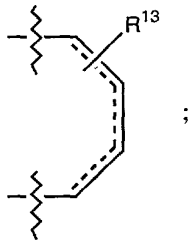


;

R^6, R^9 and R^{53} independently at each occurrence represents H, halogen, cyano, C_{1-4} alkyl, C_{1-4} halogenated alkyl, NO_2, O-aryl or OR^{11};

alternatively R^6 and R^{53} taken together form



;

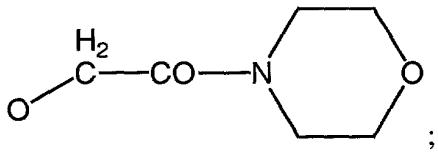
R^7 and R^8 independently at each occurrence represent OH, CF_3, H, COOH, NO_2, C_{1-4} alkyl, OC_{1-4} alkyl, or O-aryl, halogen, cyano, or a basic group selected from guanidino, $NH(CH=NH)NH_2$, $C(=NH)N(R^{10})_2$, $C(=NH)-NH-NH_2$, $C(=O)N(R^{10})_2$, 2-imidazoline, N-amidinomorpholine, N-amidino piperidine, 4-hydroxy-N-amidino piperidine, N-amidino pyrrolidine, tetrahydro pyrimidine, $C(O)CH_2NH_2$, $C(O)NHCH_2CN$, $NHCH_2CN$, and thiazolidin-3-yl-methylideneamine; with the proviso that only one of R^7 and R^8 represent a basic group;

R^{10} independently at each occurrence represents H, $(CH_2)_{0-2}$-aryl, C_{1-4} halo alkyl, or C_{1-14} straight chain, branched or cyclo alkyl, and alternatively, when one atom is substituted with two R^{10} groups, the atom along with the R^{10} groups can form a five to 10 membered ring structure;

X_1, X_2, X_3 and X_4 independently at each occurrence represent a carbon or a nitrogen atom;

R^{11} and R^{12} independently at each occurrence represent H or C_{1-4} alkyl;

R^{13} represents H, OH, OC_{1-4} alkyl, OAr, OC_{5-10} cycloalkyl, OCH_2CN, $O(CH_2)_{1-2}NH_2$, OCH_2COOH, OCH_2COO-C_{1-4} alkyl or



;

R^{20} represents H or OH;

R^{24} represents R^{10}, $(CH_2)_{1-4}$-optionally substituted aryl, $(CH_2)_{0-4}OR^{10}$, $CO\text{-}(CH_2)_{1-2}\text{-}N(R^{10})_2$, $CO(CH_2)_{1-4}\text{-}OR^{10}$, $(CH_2)_{1-4}\text{-}COOR^{10}$, $(CH_2)_{0-4}\text{-}N(R^{10})_2$, SO_2R^{10}, COR^{10}, $CON(R^{10})_2$, $(CH_2)_{0-4}$-aryl-$COOR^{10}$, $(CH_2)_{0-4}$-aryl-$N(R^{10})_2$, or $(CH_2)_{1-4}$-het-aryl;

R^{28} represents $(CH_2)_{1-2}$-Ph-O-$(CH_2)_{0-2}$-het-R^{30}, C(O)-het, CH_2-Ph-CH_2-het-$(R^{30})_{1-3}$; $(CH_2)_{1-4}$-cyclohexyl-R^{31}, CH_2-Ph-O-Ph-$(R^{30})_{1-2}$, CH_2-(CH_2OH)-het-R^{30}, CH_2-Ph-O-cycloalkyl-R^{31}, CH_2-het-C(O)-CH_2-het-R^{30}, or CH_2-Ph-O-(CH_2)-O-het-R^{30};

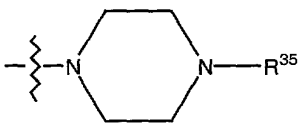
R^{30} represents $SO_2N(R^{10})_2$, H, NHOH, amidino, or $C(=NH)CH_3$;

R^{31} represents R^{30}, amino-amidino, NH-$C(=NH)CH_3$ or R^{10};

R^{32} represents H, C(O)-CH_2-NH_2, or C(O)-$CH(CH(CH_3)_2)$-NH_2;

R^{33} and R^{34} independently at each occurrence represent R^{10}, $(CH_2)_{0-4}$-Ar, optionally substituted aryl, $(CH_2)_{0-4}$ optionally substituted heteroaryl, $(CH_2)_{1-4}$-CN, $(CH_2)_{1-4}\text{-}N(R^{10})_2$, $(CH_2)_{1-4}$-OH, $(CH_2)_{1-4}\text{-}SO_2\text{-}N(R^{10})_2$;

alternatively, R^{33} and R^{34} along with the nitrogen atom that they are attached to forms a 4 to 14 atom ring structure selected from tetrahydro-1H-carboline; 6,7-Dialkoxyoxy-2-substituted 1,2,3,4-tetrahydro-isoquinoline,



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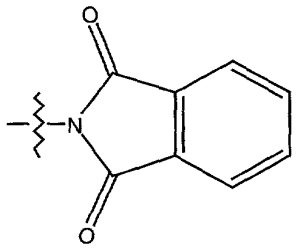


;

R^{35} represents R^{10}, $SO_2\text{-}R^{10}$, COR^{10}, or $CONHR^{10}$;

E represents a bond, $S(O)_{0-2}$, O or NR^{10};

Q, Q^1, Q^2, Q^3, L^1, L^2, L^3 and L^4 independently at each occurrence represent N-natural or unnatural amino acid side chain, CHR^{10}, O, NH, $S(O)_{0-2}$, N-C(O)-NHR^{10}, SO_2-$N(R^{10})_2$, N-C(O)-NH-$(CH_2)_{1-4}$-R^{26}, NR^{10}, N-heteroaryl, N-C(=NH)-NHR^{10}, or N-C(=NH)C_{1-4} alkyl;

R^{26} represents OH, NH_2, or SH;

R^{51} and R^{52} independently represent COOH, CH_2OH, CH_2COOH, COOR, CH_2COOR, alkyl or CO-NH_2; alternatively

R^{51} and R^{52} taken together represent =O, =S, $=CH_2$ or $=NR^{10}$;

R^{53} represents H, halogen, cyano, C_{1-4} alkyl, C_{1-4} halogenated alkyl, NO_2, O-aryl or OR^{11};

with the proviso that at least two of X_1, X_2, X_3 and X_4 represent a carbon atom, and when any of X_1, X_2, X_3 and X_4 represent a nitrogen atom the corresponding substituent does not exist.

2. A compound of Claim 1 wherein

R^1 represents OH or COOH;

R^{20} represents H;

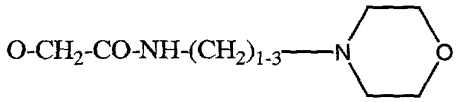
R^{51} and R^{52} taken together form =O; and

X_1, X_2, X_3, and X_4 represent C.

3. A compound of Claim 2 wherein:

R^2 represents halo, H, NH-CO-Ph, *i*-propyl, OH, OCH_3, OC_2H_5, CH(OH)COOH, O-*I*-propyl, SO_3H, NH_2, CH(OH)$COOC_{1-2}$ alkyl, CH_3, NO_2 or Ph;

R^3 represents H, OH, NH_2 OC_{1-4} alkyl, C_{1-4} alkyl, $NHCH_3$, O-$(CH_2)_{1-3}$-OCO-C_{1-2} alkyl, NH-C(O)C_{1-2} alkyl, O-$(CH_2)_{1-2}$-CO-NH_2, Ph, $NHCOCF_3$, N=CH-$N(CH_3)_2$, O-CH_2-CO-NH-$(CH_2)_{1-3}$-Ph,



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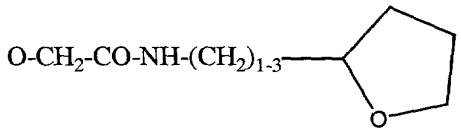
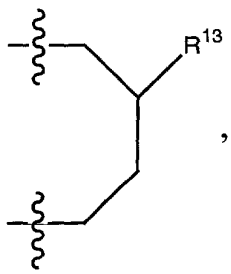


;

R^4 represents H, C_{1-4} alkyl, halogen, *i*-propyl, OH, NH_2 3-nitro-phen-1-yl, NH-CO-CH_3, CH_2-NH-$(CH_2)_3$-Ph, 2,4-difluoro-phen-1-yl, $NHCOCF_3$, benzo[1,3]dioxol-5-yl, 4-Carbamimidoyl-phenylazo, 3-Hydroxy-4-carboxyl-phenylsulfanyl; 1,3-Dioxo-indan-2-yl, or toluene-4-sulfonylamino;

R^5 represents H or OH;

alternatively, R^2 and R^3, R^3 and R^4, or R^4 and R^5 can be taken together to form



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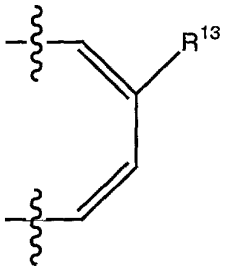

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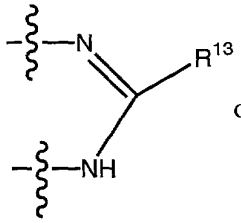

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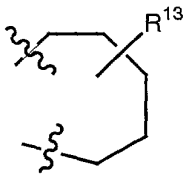


;

R^6 represents H;

R^7 represents C(=NH)-NH_2 or NH-C(=NH)-NH_2;

R^8 represents H or halogen; and

R^9 represents H.

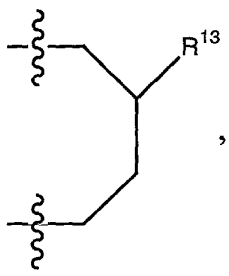
4. A compound of claim 3 wherein

R^2 represents halo, H, NH-CO-Ph, *i*-propyl, OH, CH_3, or NO_2;

R^3 represents H, OH, NH_2 OC_{1-2} alkyl, C_{1-4} alkyl, $O-(CH_2)_{1-3}-OCO-C_{1-2}$ alkyl, $NH-C(O)CH_3$, $O-CH_2-CO-NH_2$, Ph, $NHCOCF_3$, $N=CH-N(CH_3)_2$, $O-CH_2-CO-NH-(CH_2)_2-Ph$;

R^4 represents H, CH_3, methoxy, halogen, *i*-propyl, 3-nitro-phen-1-yl, $NHCOCF_3$, benzo[1,3]dioxol-5-yl, $NHCOCH_3$, 4-Carbamimidoyl-phenylazo, 3-Hydroxy-4-carboxyl-phenylsulfanyl or 1,3-Dioxo-indan-2-yl;

alternatively, R^2 and R^3, R^3 and R^4, or R^4 and R^5 can be taken together to form



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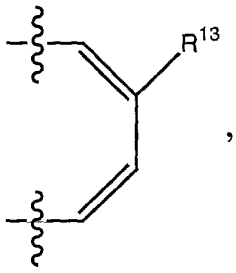

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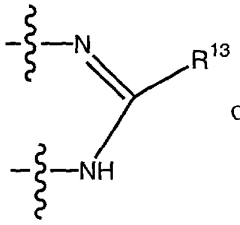

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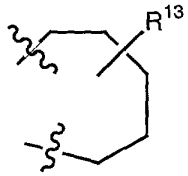
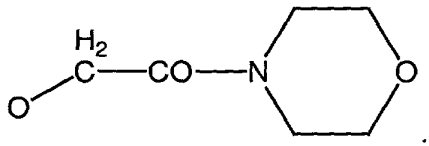


; and

R^{13} represents C_{1-2} alkyl, OH, $O(CH_2)_{1-2}-NH_2$, H, or

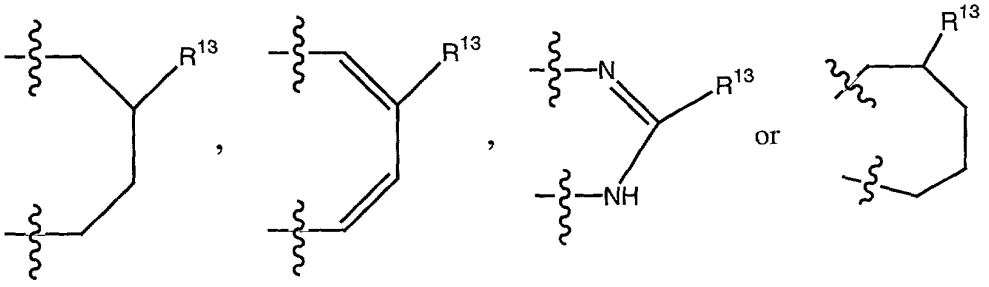


5. A compound of Claim 4 wherein

R^3 represents H, OH, NH_2 OC_{1-2} alkyl, C_{1-4} alkyl, $O-CH_2-OCO-CH_3$, $NH-C(O)CH_3$, $O-CH_2-CO-NH_2$;

R^4 represents H, CH_3, halogen, *i*-propyl, benzo[1,3]dioxol-5-yl, or 1,3-Dioxo-indan-2-yl;

alternatively, R^2 and R^3, R^3 and R^4, or R^4 and R^5 can be taken together to form



6. A compound of Claim 5 wherein

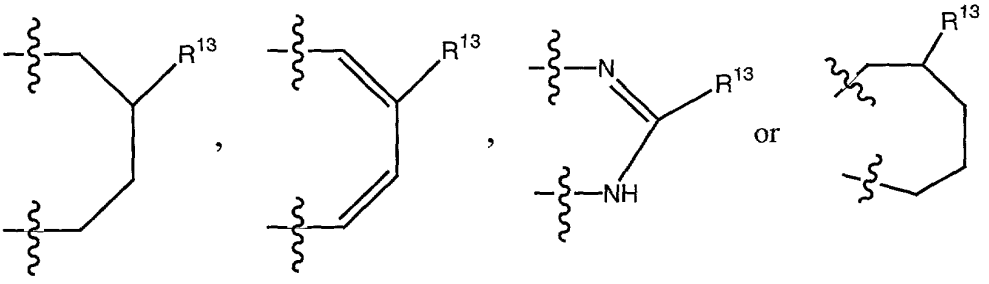
R^2 represents H or halogen;

R^3 represents H, OH or NH_2;

R^4 represents H, CH_3, halogen or benzo[1,3]dioxol-5-yl;

R^5 represents H; or

R^3 and R^4 or taken together to form



7. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of (i) a compound; or (ii) a pharmaceutically acceptable salt of a compound of Claim 1.

8. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound or a pharmaceutically acceptable salt of a compound of Claim 4.

9. A method for treating or preventing a thromboembolic disorder, comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to Claim 4 or a pharmaceutically acceptable salt thereof.

10. A compound of Claim 6, wherein the compound is selected from:

N-(4-Carbamimidoyl-phenyl)-2-hydroxy-3-iodo-5-methyl-benzamide;

3,5-Dibromo-N-(4-carbamimidoyl-phenyl)-2,4-dihydroxy-benzamide;

5-Bromo-N-(4-carbamimidoyl-phenyl)-2,4-dihydroxy-3-iodo-benzamide;

3-Hydroxy-naphthalene-2-carboxylic acid (6-guanidino-pyridin-3-yl)-amide; and

3-Hydroxy-7-methoxy-naphthalene-2-carboxylic acid (4-guanidino-phenyl)-amide.

11. A compound of Claim 1 wherein

R^1 represents OH or COOH;

R^{20} represents H;

R^{51} and R^{52} taken together form =O;

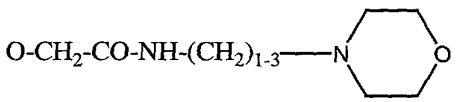
X_1 represents N; and

X_2, X_3, and X_4 represent C.

12. A compound of Claim 1 wherein

R^2 represents halo, H, NH-CO-Ph, *i*-propyl, OH, CH_3, NO_2 or Ph;

R^3 represents H, OH, NH_2 OC_{1-4} alkyl, C_{1-4} alkyl, O-$(CH_2)_{1-3}$-OCO-C_{1-2} alkyl, NH-$C(O)C_{1-2}$ alkyl, O-$(CH_2)_{1-2}$-CO-NH_2, Ph, $NHCOCF_3$, N=CH-$N(CH_3)_2$, O-CH_2-CO-NH-$(CH_2)_{1-3}$-Ph,



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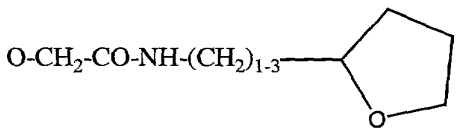
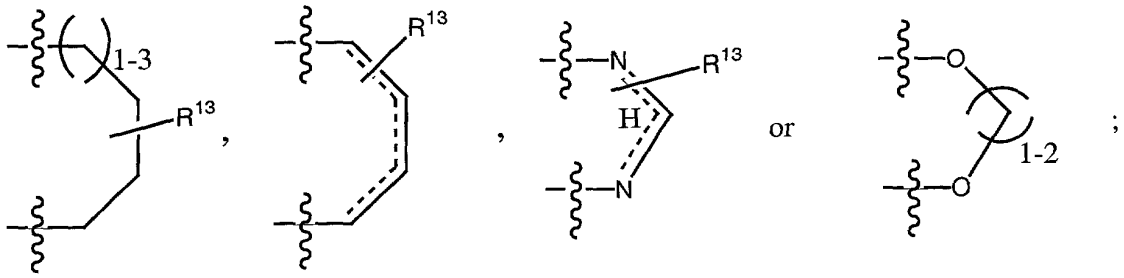


;

R^4 represents H, C_{1-4} alkyl, halogen, *i*-propyl, OH, NH_2 3-nitro-phen-1-yl, NH-CO-CH_3, CH_2-NH-$(CH_2)_3$-Ph, 2,4-difluoro-phen-1-yl, $NHCOCF_3$, benzo[1,3]dioxol-5-yl, 4-Carbamimidoyl-phenylazo, 3-Hydroxy-4-carboxyl-phenylsulfanyl; 1,3-Dioxo-indan-2-yl, or toluene-4-sulfonylamino;

R^5 represents H or OH;

alternatively, R^2 and R^3, R^3 and R^4, or R^4 and R^5 can be taken together to form



;

R^6 represents H;

R^7 represents C(=NH)-NH_2 or NH-C(=NH)-NH_2;

R^8 represents H or halogen; and

R^9 represents H.

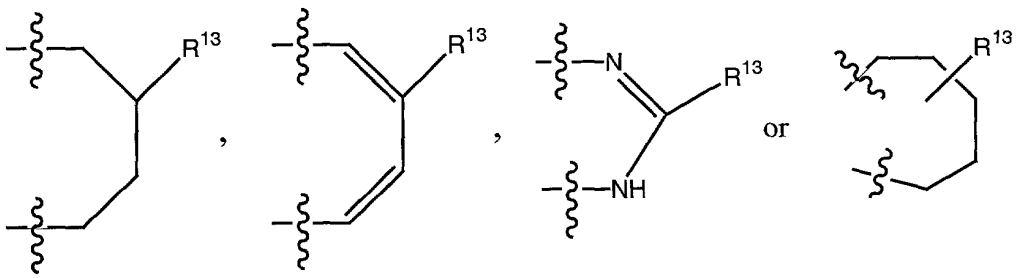
13. A compound of claim 12 wherein

R^2 represents halo, H, NH-CO-Ph, *i*-propyl, OH, CH_3, or NO_2;

R^3 represents H, OH, NH_2 OC_{1-2} alkyl, C_{1-4} alkyl, O-$(CH_2)_{1-3}$-OCO-C_{1-2} alkyl, NH-C(O)CH_3, O-CH_2-CO-NH_2, Ph, $NHCOCF_3$, N=CH-$N(CH_3)_2$, O-CH_2-CO-NH-$(CH_2)_2$-Ph;

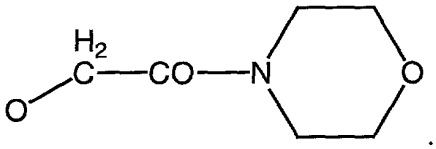
R^4 represents H, CH_3, methoxy, halogen, *i*-propyl, 3-nitro-phen-1-yl, $NHCOCF_3$, benzo[1,3]dioxol-5-yl, $NHCOCH_3$, 4-Carbamimidoyl-phenylazo, 3-Hydroxy-4-carboxyl-phenylsulfanyl or 1,3-Dioxo-indan-2-yl;

alternatively, R^2 and R^3, R^3 and R^4, or R^4 and R^5 can be taken together to form



; and

R^{13} represents C_{1-2} alkyl, OH, $O(CH_2)_{1-2}$-NH_2, H, or

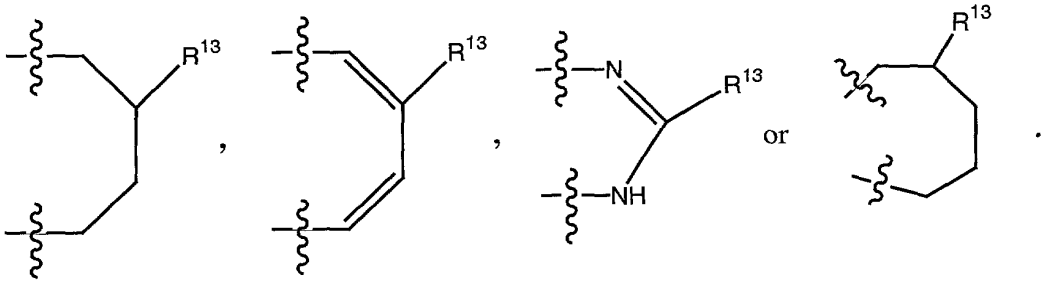


14. A compound of Claim 13 wherein

R^3 represents H, OH, NH_2 OC_{1-2} alkyl, C_{1-4} alkyl, O-CH_2-OCO-CH_3, NH-C(O)CH_3, O-CH_2-CO-NH_2;

R^4 represents H, CH_3, halogen, *i*-propyl, benzo[1,3]dioxol-5-yl, or 1,3-Dioxo-indan-2-yl;

alternatively, R^2 and R^3, R^3 and R^4, or R^4 and R^5 can be taken together to form



15. A compound of Claim 14 wherein

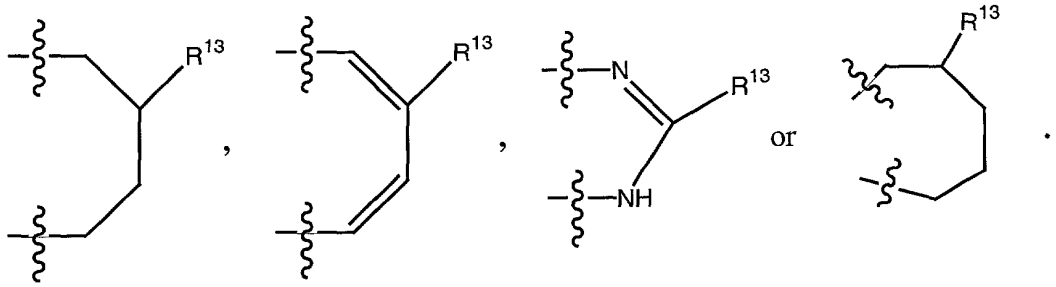
R^2 represents H or halogen;

R^3 represents H, OH or NH_2;

R^4 represents H, CH_3, halogen or benzo[1,3]dioxol-5-yl;

R^5 represents H; and

R^3 and R^4 or taken together to form



16. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound or a pharmaceutically acceptable salt of a compound of Claim 10.

17. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 13 or a pharmaceutically acceptable salt thereof.

18. A method for treating or preventing a thromboembolic disorder, comprising administering to a patient in need thereof a therapeutically effective amount of a compound according to Claim 13 or a pharmaceutically acceptable salt thereof.

19. A method for treating cancer in mammals comprising administering a therapeutically effective amount of a compound according to Claim 13.

20. A process for selectively acylating an amino group, said process comprising treating a molecule comprising an amino group with an acylating agent in the presence of an acetamide to yield a compound with an acylated amino group.

21. A process of Claim 20 wherein the amino group is selectively acylated in the presence of another acylatable group.

22. A process of Claim 21 wherein the acylatable group is selected from an optionally substituted amino ketone, alkyl amidino, alkyl guanidino, $C(=NH)NH\text{-}NH_2$, aryl-$(CH_2)_{0\text{-}4}$-NHR^{10}, amidino and guanidino.

23. A process of Claim 22 wherein the acylating agent comprises an acid halide group.

24. A process of Claim 23 wherein the acetamide is an alkyl or dialkyl acetamide.

25. A process of Claim 24 wherein the acetamide is selected from a group consisting of DMA, diethyl acetamide, dimethyl propionamide, diethyl propionamide and N-methylpyrrolidinone.

26. A process of Claim 25 wherein the process is carried out at a temperature ranging from about 25°C to about 50°C.

27. A process of Claim 26 wherein the acylating agent is a protected salicylic acid chloride selected from acetic acid 2-chlorocarbonyl-phenyl ester and 2-benzyloxy-benzoyl chloride.

28. A method for treating or preventing a cancer related disorder, comprising administering to a patient/ mammal in need thereof a therapeutically effective amount of a compound of Claim 1 or a pharmaceutically acceptable salt thereof.

29. A method for treating or preventing a cancer related disorder, comprising administering to a patient/ mammal in need thereof a therapeutically effective amount of a compound of Claim 3 or a pharmaceutically acceptable salt thereof.

30. A method for treating or preventing a cancer related disorder, comprising administering to a patient/ mammal in need thereof a therapeutically effective amount of a compound of Claim 12 or a pharmaceutically acceptable salt thereof.

31. A method for treating or preventing a cancer related disorder, comprising administering to a patient/ mammal in need thereof a therapeutically effective amount of a compound of Claim 15 or a pharmaceutically acceptable salt thereof.